WHAT IS CLAIMED IS:

1. A racemate, diastereoisomer or optical isomer of a compound of formula (I):

wherein **B** is H, a C_6 or C_{10} aryl, C_{7-16} aralkyl; Het or (lower alkyl)-Het, all of which optionally substituted with C_{1-6} alkyl; C_{1-6} alkoxy; C_{1-6} alkanoyl; hydroxy; hydroxyalkyl; halo; haloalkyl; nitro; cyano; cyanoalkyl; amino optionally substituted with C_{1-6} alkyl; amido; or (lower alkyl)amide;

or **B** is an acyl derivative of formula R_4 -C(O)-; a carboxyl derivative formula R_4 -O-C(O)-; an amide derivative of formula R_4 -N(R_5)-C(O)-; a thioamide derivative of formula R_4 -N(R_5)-C(S)-; or a sulfonyl derivative of formula R_4 -SO₂ wherein

- \mathbf{R}_4 is (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido, or (lower alkyl) amide;
- (ii) C_{3-7} cycloalkyl, C_{3-7} cycloalkoxy, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido, or (lower alkyl) amide;
- (iii) amino optionally mono- or di-substituted with C_{1-6} alkyl; amido; or (lower alkyl)amide;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally mono- or disubstituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl) amide, or amino optionally mono- or disubstituted with C_{1-6} alkyl;

 $\mathbf{R_5}$ is H or $\mathbf{C_{1-6}}$ alkyl;

with the proviso that when B is a carboxyl derivative, an amide derivative or a thioamide derivative, R_4 is not a cycloalkoxy;

Y is H or C_{1-6} alkyl;

 \mathbf{R}^3 is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, amido, (lower alkyl)amido, C_6 or C_{10} aryl, or C_{7-16} aralkyl;

 R^2 is CH_2 - R_{20} , NH- R_{20} , O- R_{20} or S- R_{20} , wherein R_{20} is a saturated or unsaturated C_{3-7} cycloalkyl or C_{4-10} (alkylcycloalkyl), all of which being optionally mono-, di- or trisubstituted with R_{21} ,

or \mathbf{R}_{20} is a \mathbf{C}_6 or \mathbf{C}_{10} aryl or \mathbf{C}_{7-14} aralkyl, all optionally mono-, di- or tri-substituted with \mathbf{R}_{21} ,

or R_{20} is Het or (lower alkyl)-Het, both optionally mono-, di- or tri-substituted with R_{21} ,

wherein each \mathbf{R}_{21} is independently C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; sulfonyl; NO_2 ; OH; SH; halo; haloalkyl; amino optionally mono- or disubstituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-14} aralkyl, Het or (lower alkyl)-Het; amido optionally mono-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-14} aralkyl, Het or (lower alkyl)-Het; carboxyl; carboxy(lower alkyl); C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, said aryl, aralkyl or Het being optionally substituted with \mathbf{R}_{22} ;

wherein \mathbf{R}_{22} is C_{1-6} alkyl; C_{3-7} cycloalkyl; C_{1-6} alkoxy; amino optionally mono- or di-substituted with C_{1-6} alkyl; sulfonyl; (lower alkyl)sulfonyl; NO₂; OH; SH; halo; haloalkyl; carboxyl; amide; (lower alkyl)amide; or Het optionally substituted with C_{1-6} alkyl;

 \mathbf{R}^1 is H; C_{1-6} alkyl, C_{3-7} cycloalkyl, C_{2-6} alkenyl, or C_{2-6} alkynyl, all optionally substituted with halogen;

or a pharmaceutically acceptable salt or ester thereof;

wherein "Het" is defined as a five-, six-, or seven-membered saturated or unsaturated, aromatic or non-aromatic, heterocycle containing from one to four heteroatoms selected from nitrogen, oxygen and sulfur, wherein said heterocycle is optionally fused to a benzene ring.

- 2. A compound of formula I according to claim 1, wherein
 - **B** is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or
 - **B** is Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl.
- 3. A compound of formula I according to claim 1, wherein **B** is R_4 -SO₂ wherein R_4 is C_{1-6} alkyl; amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, all optionally substituted with C_{1-6} alkyl.
- 4. A compound of formula I according to claim wherein $\bf B$ is an acyl derivative of formula $\bf R_4$ -C(O)- wherein $\bf R_4$ is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl;
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl.
- 5. A compound of formula I according to claim 1, wherein B is a carboxyl derivative of formula R_4 -O-C(O)-, wherein R_4 is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
 - (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted

with C_{1-6} alkyl, amido or (lower alkyl)amide;

- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or disubstituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amido.
- 6. A compound of formula I according to claim 1, wherein **B** is an amide derivative of formula R_4 -N(R_5)-C(O)- wherein R_4 is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or disubstituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl;
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido or (lower alkyl)amide; and

R₅ is H or methyl.

- 7. A compound of formula I according to claim 1, wherein B is a thioamide derivative of formula R_4 -NH-C(S)-; wherein R_4 is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl or C_{1-6} alkoxy;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino or amido.
- 8. A compound of formula I according to claim 2, wherein **B** is a C_6 or C_{10} aryl optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide,



- or amino optionally mono- or di-substituted with C₁₋₆ alkyl.
- 9. A compound of formula I according to claim 2, wherein **B** is Het optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl.
- 10. A compound of formula I according to claim 4, wherein **B** is an acyl derivative of formula \mathbf{R}_4 -C(O)- wherein \mathbf{R}_4 is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, or
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, or (v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amido or amino.
- 11. A compound of formula I according to claim 5, wherein **B** is a carboxyl derivative of formula R_4 -O-C(O)-, wherein R_4 is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy or amido, (lower alkyl)amide, amino optionally mono- or disubstituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amido, or amino optionally mono-substituted with C_{1-6} alkyl.
- 12. A compound of formula I according to claim 6, wherein B is an amide derivative of formula R₄-N(R₅)-C(O)- wherein R₄ is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or disubstituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;

- (iii) amino optionally mono- or di-substituted with C₁₋₃ alkyl, or
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido optionally substituted with C_{1-6} alkyl; or
- (v) Het optionally substituted with $C_{1\text{-}6}$ alkyl, hydroxy, amino or amido, and \mathbf{R}_5 is H.
- 13. A compound of formula I according to claim 7, wherein **B** is a thioamide derivative of formula R_4 -NH-C(S)-; wherein R_4 is (i) C_{1-10} alkyl; or (ii) C_{3-7} cycloalkyl.
- 14. A compound of formula I according to claim 12, wherein B is an amide derivative of formula R₄-NH-C(O)- wherein R₄ is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy amido, (lower alkyl)amide, amino optionally mono- or disubstituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido.
- 15. A compound of formula I according to claim 1, wherein B is

- 16. A compound of formula I according to claim 1, wherein Y is H or methyl.
- 17. A compound of formula I according to claim 16, wherein Y is H.
- 18. A compound of formula I according to claim 1, wherein \mathbb{R}^3 is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, acetamido, C_6 or C_{10} aryl, or C_{7-16} aralkyl,.
- 19. A compound of formula I according to claim 18, wherein R³ is the side chain of Tbg, Ile, Val, Chg or:

20. A compound of formula I according to claim 19, wherein \mathbb{R}^3 is the side chain of

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Tbg, Chg or Val.

T,1340

21. A compound of formula I according to claim 1, wherein \mathbb{R}^2 is S- \mathbb{R}_{20} or O- \mathbb{R}_{20} wherein \mathbb{R}_{20} is a \mathbb{C}_6 or \mathbb{C}_{10} aryl, \mathbb{C}_{7-16} aralkyl, Het or - \mathbb{C}_{10} -Het, all optionally mono, di- or tri-substituted with \mathbb{R}_{21} , wherein

 $\mathbf{R_{21}}$ is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; amino or amido optionally mono-or di-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; NO_2 ; OH; halo; trifluoromethyl; carboxyl; C_6 or C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with $\mathbf{R_{22}}$, wherein

R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het.

- 22. A compound of formula I according to claim 21, wherein R_{21} is C_{1-6} alkyl; C_{1-6} alkoxy; amino; di(lower alkyl)amino; (lower alkyl)amide; C_6 or C_{10} aryl, or Het, said aryl or Het being optionally substituted with R_{22} , wherein R_{22} is C_{1-6} alkyl; C_{3-7} cycloalkyl; C_{1-6} alkoxy; amino; mono- or di(lower alkyl)amino; amido; (lower alkyl)amide; halo; trifluoromethyl or Het.
- 23. A compound of formula I according to claim 22, wherein \mathbf{R}_{22} is \mathbf{C}_{1-6} alkyl; \mathbf{C}_{1-6} alkoxy; halo; amino optionally mono- or di-substituted with lower alkyl; amido; (lower alkyl)amide; or Het.
- 24. A compound of formula I according to claim 23, wherein R₂₂ is methyl; ethyl; isopropyl; tert-butyl; methoxy; chloro; amino optionally mono- or di-substituted with lower alkyl; amido, (lower alkyl)amide; or (lower alkyl) 2-thiazole.
- 25. A compound of formula I according to claim 21, wherein \mathbb{R}^2 is selected from the group consisting of:

26. A compound of formula I according to claim 21, wherein \mathbb{R}^2 is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted, mono- or di-substituted with \mathbb{R}_{21} as defined in claim 21.

- 27. A compound of formula I according to claim 26, wherein \mathbb{R}^2 is 1-naphtylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with \mathbb{R}_{21} as defined in claim 26.
- 28. A compound of formula I according to claim 27, wherein \mathbb{R}^2 is selected from the group consisting of:

29. A compound of formula I according to claim 26, wherein \mathbb{R}^2 is:

wherein $\mathbf{R_{21A}}$ is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; halo; amino optionally mono-substituted with C_{1-6} alkyl; or C_6 , C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het optionally substituted with $\mathbf{R_{22}}$ wherein $\mathbf{R_{22}}$ is C_{1-6} alkyl, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl, or Het; and

 \mathbf{R}_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_{2} , OH, halo, trifluoromethyl, or carboxyl.

- 30. A compound of formula I according to claim 29, wherein R_{21A} is C_6 , C_{10} aryl or Het, all optionally substituted with R_{22} as defined in claim 29.
- 31. A compound of formula I according to claim 30, wherein R_{21A} is selected from the group consisting of:

T,1350

T,1351

T,1361

32. A compound of formula I according to claim 21, wherein \mathbb{R}^2 is:

wherein \mathbf{R}_{22A} is C_{1-6} alkyl; C_{1-6} alkoxy; or halo; and \mathbf{R}_{21B} is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl.

33. A compound of formula I according to claim 29, wherein \mathbb{R}^2 is:

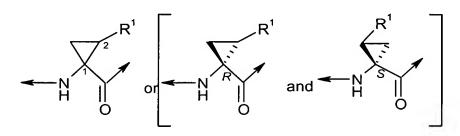
wherein $\mathbf{R_{22B}}$ is C_{1-6} alkyl, amino optionally mono-substituted with C_{1-6} alkyl, amido, or (lower alkyl)amide; ; and $\mathbf{R_{21B}}$ is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl.

- 34. A compound of formula I according to claim 32 or 33, wherein R_{21B} is C_{1-6} alkoxy, or di(lower alkyl)amino.
- 35. A compound of formula I according to claim 32-or 33, wherein \mathbf{R}_{21B} is methoxy.
- 36. A compound of formula I according to claim 1, wherein \mathbb{R}^1 is H, C_{1-3} alkyl, C_{3-5} cycloalkyl, or C_{2-4} alkenyl, all optionally substituted with halo.

- 37. A compound of formula I according to claim 36, wherein P1 is

 and R¹ is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.
- 38. A compound of formula I according to claim 37, wherein \mathbb{R}^1 is vinyl.
- 39. A compound of formula I according to claim 37, wherein \mathbb{R}^1 at carbon 2 is orientated syn to the carbonyl at position 1, represented by the radical:

T,1371

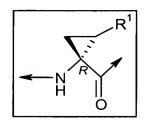


40. A compound of formula I according to claim 37, wherein \mathbb{R}^1 at position 2 is orientated *anti* to the carbonyl at position 1, represented by the radical:

T, 1372

41. A compound of formula I according to claim 37, wherein carbon 1 has the *R* configuration:

42. An optical isomer of a compound of formula I according to claim 41, wherein said \mathbf{R}^1 substituent and the carbonyl in a *syn* orientation in the following absolute configuration:



- 43. A compound of formula I according to claim 42, wherein \mathbb{R}^1 is ethyl, hence the asymmetric carbon atoms at positions 1 and 2 have the R, R configuration.
- 44. A compound of formula I according to claim 42, wherein \mathbb{R}^1 is vinyl, hence the asymmetric carbon atoms at positions 1 and 2 have the R, S configuration.
- 45. A compound of formula I according to claim 1, wherein

B is a C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl; or

Het or (lower alkyl)-Het, all optionally substituted with C_{1-6} alkyl, C_{1-6} alkoxy, C_{1-6} alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amido, or amino optionally substituted with C_{1-6} alkyl, or

B is R_4 -SO₂ wherein R_4 is preferably amido; (lower alkyl)amide; C_6 or C_{10} aryl, C_{7-14} aralkyl or Het, all optionally substituted with C_{1-6} alkyl, or

B is an acyl derivative of formula R_4 -C(O)- wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, hydroxy or C_{1-6} alkoxy, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl;
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl, or

B is a carboxyl derivative of formula R_4 -O-C(O)-, wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amide;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amido, or amino optionally mono- or disubstituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally mono- or di-substituted with C_{1-6} alkyl, amido or (lower alkyl)amido, or

B is an amide derivative of formula R_4 -N(R_5)-C(O)- wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amido, or amino optionally mono- or disubstituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amido, or amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iii) amino optionally mono- or di-substituted with C_{1-3} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amido, (lower alkyl)amide, or amino optionally substituted with C_{1-6} alkyl; or
- (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl, amido or (lower alkyl)amide; and

R₅ is H or methyl, or

B is thioamide derivative of formula R_4 -NH-C(S)-; wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl or C_{1-6} alkoxy;
- (ii) C₃₋₇ cycloalkyl or C₄₋₁₀ alkylcycloalkyl, all optionally substituted with

carboxyl, (C₁₋₆ alkoxy)carbonyl, amino or amido;

Y is H or methyl;

 ${f R}^3$ is C_{1-8} alkyl, C_{3-7} cycloalkyl, or C_{4-10} alkylcycloalkyl, all optionally substituted with hydroxy, C_{1-6} alkoxy, C_{1-6} thioalkyl, acetamido, C_6 or C_{10} aryl, or C_{7-16} aralkyl; ${f R}^2$ is $S-{f R}_{20}$ or $O-{f R}_{20}$ wherein ${f R}_{20}$ is a C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or -CH₂-Het, all optionally mono-, di- or tri-substituted with ${f R}_{21}$, wherein

 $\mathbf{R_{21}}$ is C_{1-6} alkyl; C_{1-6} alkoxy; lower thioalkyl; amino or amido optionally mono-or di-substituted with C_{1-6} alkyl, C_6 or C_{10} aryl, C_{7-16} aralkyl, Het or (lower alkyl)-Het; NO₂; OH; halo; trifluoromethyl; carboxyl; C_6 or C_{10} aryl, C_{7-16} aralkyl, or Het, said aryl, aralkyl or Het being optionally substituted with $\mathbf{R_{22}}$, wherein

R₂₂ is C₁₋₆ alkyl; C₃₋₇ cycloalkyl; C₁₋₆ alkoxy; amino; mono- or di-(lower alkyl)amino; (lower alkyl)amide; sulfonylalkyl; NO₂; OH; halo; trifluoromethyl; carboxyl or Het; or

R² is selected from the group consisting of:

or $\mathbf{R^2}$ is 1-naphthylmethoxy; 2-naphthylmethoxy; benzyloxy, 1-naphthyloxy; 2-naphthyloxy; or quinolinoxy unsubstituted, mono- or di-substituted with $\mathbf{R_{21}}$ as defined above; and

P1 is:

T.1400

T,1401

wherein \mathbf{R}^1 is H, C_{1-3} alkyl, C_{3-5} cycloalkyl, or C_{2-4} alkenyl optionally substituted with halo, and said \mathbf{R}^1 at carbon 2 is orientated *syn* to the carbonyl at position 1, represented by the radical:

T,1410

$$\begin{array}{c|c} & & & \\ & & & \\$$

or a pharmaceutically acceptable salt or ester thereof.

- 46. A compound of formula I according to claim 45, wherein B is a C₆ or C₁₀ aryl optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, hydroxyalkyl, halo, haloalkyl, nitro, cyano, cyanoalkyl, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or B is Het optionally substituted with C₁₋₆ alkyl, C₁₋₆ alkoxy, C₁₋₆ alkanoyl, hydroxy, halo, amido, (lower alkyl)amide, or amino optionally mono- or di-substituted with C₁₋₆ alkyl; or B is R₄-SO₂ wherein R₄ is C₆ or C₁₀ aryl, a C₇₋₁₄ aralkyl or Het all optionally substituted with C₁₋₆ alkyl; amido, (lower alkyl)amide; B is an acyl derivative of formula R₄-C(O)- wherein R₄ is
 - (i) C₁₋₁₀ alkyl optionally substituted with carboxyl, hydroxy or C₁₋₆ alkoxy; or
 - (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, both optionally substituted with hydroxy, carboxyl, (C_{1-6} alkoxy)carbonyl; or
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy; or
 - (v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amido or amino; or **B** is a carboxyl derivative of formula \mathbf{R}_4 -O-C(O)-, wherein \mathbf{R}_4 is
 - (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy or amido, (lower alkyl)amide, amino optionally mono- or disubstituted with C_{1-6} alkyl;
 - (ii) C_{3-7} cycloalkyl, C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl; or
 - (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino optionally substituted with C_{1-6} alkyl; or
 - (v) Het or (lower alkyl)-Het, both optionally substituted with C_{1-6} alkyl,

hydroxy, amido, or amino optionally mono-substituted with C_{1-6} alkyl; or **B** is an amide derivative of formula R_4 -N(R_5)-C(O)- wherein R_4 is

- (i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy, amido, (lower alkyl)amide, amino optionally mono- or disubstituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl; and $\mathbf{R_5}$ is H or methyl; or

 R_4 is (iii) amino optionally mono- or di-substituted with $C_{1\text{--}3}$ alkyl; or

- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl, all optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido optionally substituted with C_{1-6} alkyl; or
- (v) Het optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido; or **B** is a thioamide derivative of formula R_4 -NH-C(S)-; wherein R_4 is:
 - (i) C₁₋₁₀ alkyl; or (ii) C₃₋₇ cycloalkyl; or

Y is H;

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T,1421

R³ is the side chain of Tbg, Ile, Val, Chg or:

 $\mathbf{R_2}$ is 1-naphtylmethoxy; or quinolinoxy unsubstituted, mono- or di-substituted with $\mathbf{R_{21}}$ as defined above, or

 $\mathbf{R_2}$ is:

wherein $\mathbf{R_{21A}}$ is $\mathbf{C_{1-6}}$ alkyl; $\mathbf{C_{1-6}}$ alkoxy; $\mathbf{C_6}$, $\mathbf{C_{10}}$ aryl or Het; lower thioalkyl; halo; amino optionally mono-substituted with $\mathbf{C_{1-6}}$ alkyl; or $\mathbf{C_6}$, $\mathbf{C_{10}}$ aryl, $\mathbf{C_{7-16}}$ aralkyl or Het, optionally substituted with $\mathbf{R_{22}}$ wherein $\mathbf{R_{22}}$ is $\mathbf{C_{1-6}}$ alkyl, $\mathbf{C_{1-6}}$ alkoxy, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with $\mathbf{C_{1-6}}$ alkyl, or Het; and $\mathbf{R_{21B}}$ is $\mathbf{C_{1-6}}$ alkyl, $\mathbf{C_{1-6}}$ alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO₂, OH, halo, trifluoromethyl, or carboxyl;

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P1 is:

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 \mathbf{R}^1 is ethyl, vinyl, cyclopropyl, 1 or 2-bromoethyl or 1 or 2-bromovinyl.

47. A compound of formula I according to claim 46, wherein

B is an amide derivative of formula R_4 -NH-C(O)- wherein R_4 is

- i) C_{1-10} alkyl optionally substituted with carboxyl, C_{1-6} alkanoyl, hydroxy, C_{1-6} alkoxy amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (ii) C_{3-7} cycloalkyl or C_{4-10} alkylcycloalkyl, all optionally substituted with carboxyl, (C_{1-6} alkoxy)carbonyl, amido, (lower alkyl)amide, amino optionally mono- or di-substituted with C_{1-6} alkyl;
- (iv) C_6 or C_{10} aryl or C_{7-16} aralkyl optionally substituted with C_{1-6} alkyl, hydroxy, amino or amido;

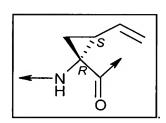
R³ is the side chain of Tbg, Chg or Val;

R² is:

$$R_{22A}$$
 R_{21B}
 R_{21B}
 R_{21B}
 R_{21B}

wherein $\mathbf{R_{22A}}$ is C_{1-6} alkyl; C_{1-6} alkoxy; or halo; $\mathbf{R_{22B}}$ is C_{1-6} alkyl, amino optionally mono-substituted with C_{1-6} alkyl, amido, or (lower alkyl)amide; and $\mathbf{R_{21B}}$ is C_{1-6} alkyl, C_{1-6} alkoxy, amino, di(lower alkyl)amino, (lower alkyl)amide, NO_2 , OH, halo, trifluoromethyl, or carboxyl;

and P1 is:



48. A compound according to claim 45 represented by the formula:

T,1441

T,1442

wherein B, R₃, R₂ are as defined below:

		Tab 1 Cpd#	\mathbf{R}^{3}	R^2	
		101	cHex	-O-CH ₂ -1-naphthyl	;
102		102	сНех	-O-CH ₂ -1-naphthyl	;
103		103	сНех	-O-CH₂-1-naphthyl	;
104		104	сНех	-O-CH ₂ -1-naphthyl	• • • • • • • • • • • • • • • • • • •
10:		105	сНех	-O-CH ₂ -1-naphthyl	;
100	5 Boc	106	сНех	NO ₂	• • • • • • • • • • • • • • • • • • •
107	CICI	107	сНех	-O-CH ₂ -1-naphthyl	•

Tab 1 Cpd#	В	\mathbb{R}^3	\mathbf{R}^{2}
108	Boc	iPr	;
	:		NO ₂
109	acetyl	cHex	;
1			NO ₂
110	Boc	i-Pr	, cl
and 111	Boc	t-Bu	N O

49. Compound # 111 according to claim 48.

T,1450

T,1451

50. A compound according to claim 45 represented by the formula:

wherein B, R^3 , R^2 , R^1 are as defined below:

	Table 2 Cpd #	В	R ³	R ²	R ¹ anti to carboxy	
!	201	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	ethyl (one isomer)	;
1	202	Boc	cyclohexyl	-O-CH ₂ -1-naphthyl	ethyl (other isomer)	;

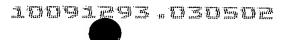


Table 2 Cpd #	В	\mathbb{R}^3	R ²	R ¹ anti to carboxy	
and 203	Boc	<i>t</i> -Bu		vinyl 1 <i>R, 2R</i>	•

- 51. Compound #203 according to claim 49.
- **52.** A compound according to claim 45 represented by the formula:

$$\begin{array}{c|c} & & & \\ &$$

wherein B, R^3 , R^2 and R^1 are as defined below:

Table 3 Cpd #	В	R ³	\mathbb{R}^2	R ¹ syn to carbox	:
301	Вос	cHex	-O-CH ₂ -1-naphthyl	yl ethyl	;
302		iPr	-O-CH ₂ -1-naphthyl	ethyl	;
303		cHex	-O-CH ₂ -1-naphthyl	ethyl	; ;
304	Boc	cHex	OCH ₂	ethyl	; ;
305	Вос	сНех	-O-CH ₂ -1-naphthyl	vinyl	;
306	Boc	cHex	O N	vinyl	;
307	Boc	сНех	N NO ₂	vinyl	;

Table 3 Cpd #	В	R ³	R ²	R ¹ syn to carbox yl	
308	Вос	сНех		vinyl	;
309	Вос	cHex		vinyl	;
310	Вос	сНех	NO O	vinyl	;
311	Вос	cHex	CI	vinyl	;
312	Вос	сНех		vinyl	;
313	Boc	cHex		vinyl	;
314	Вос	сНех		vinyl	• • • • • • • • • • • • • • • • • • • •
315	Вос	сНех	NH ₂	vinyl	;
316	Acetyl	сНех		vinyl	•
317	Boc	cHex	N N N N N N N N N N N N N N N N N N N	vinyl	• • • • • • • • • • • • • • • • • • • •

	Table 3 Cpd #	В	R ³	\mathbb{R}^2	R ¹ syn to carbox yl	1
	318	CF ₃ -C(O)-	i-Pr		vinyl	;
	319		сНех		vinyl	;
	320	но	сНех		vinyl	;
	321	Boc	<i>t</i> -Bu		vinyl	•
	322	Вос	t-Bu	CF ₃ N	vinyl	•
	323	Вос	t-Bu	N N N N N N N N N N N N N N N N N N N		;
	324	Boc	t-Bu		vinyl	• •
	325	Вос	t-Bu	N		•
- 1	!					İ

Table 3 Cpd #	B	R ³	: R ²	R ¹ syn to carbox	
326	Вос	t-Bu		yl vinyl	;
327	J _N L	t-Bu	OMe	vinyl	;
328	Boc	<i>t-</i> Bu	CI	vinyl	;
329	Вос	<i>t-</i> Bu		vinyl	; ;
330	Вос	t-Bu		vinyl	· • • • • • • • • • • • • • • • • • • •
331	≯ _N ♣	<i>t</i> -Bu		vinyl	;
332	Boc	<i>t-</i> Bu	OMe	ethyl	•
333	\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\\	t-Bu	S N OMe	vinyl	•

Table 3 Cpd #	В	R ³	\mathbb{R}^2	R ¹ syn to carbox	
and 334	→	t-Bu	S N OME	vinyl	•

53. A compound according to claim 52, selected from the group consisting of compound #: 307,314, 317, 319, 321, 324, 325, 326, 327, 329, 331, 332, 333, and 334.

54. A compound according to claim 45 represented by the formula:

TISIO

$$\begin{array}{c|c}
 & R^2 \\
\hline
 & \vdots \\
 & N \\
 &$$

wherein B, R^3 , R^2 and R^1 are as defined below:

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I IC	B, R ³ , R ² and R ³ are as defined below:									
	Table 4 Cpd #	В	R ³	\mathbb{R}^2	\mathbb{R}^1	•				
	401	Boc	<i>i</i> -Pr	CI	Н	;				
	402	Вос	t-Bu	CI	Н	;				
A	403	Вос	t-Bu	OMe	Н	;				
	404	Вос	<i>t-</i> Bu	ОМе	3-(=CH ₂)	;				
	405	Boc	t-Bu	OMe	2-vinyl	;				
<u> </u>	and 406	Boc	t-Bu	N	2-Et	•				

- 55. A compound according to claim 54, selected from the group consisting of compound #: 403, 405, and 406.
- **56.** A compound according to claim 45 represented by the formula:

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wherein \mathbb{R}^3 is as defined below:

Table 5 Cpd #	\mathbb{R}^3		Table 5 Cpd #	R ³	:
501	t-Bu	;	507	N	;
502	H	;	508	\$\frac{1}{2}	;
503	——————————————————————————————————————	;	509	s +	;
504		•	510		• • •
505	, ,	;	and 511	ОН	. • !
506		;			

- 57. A compound according to claim 56, selected from the group consisting of compound #: 501, 509, and 510.
- **58.** A compound according to claim 46 represented by the formula:

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wherein $\ensuremath{R^3},\,\ensuremath{R_{21A}}$ and $\ensuremath{R_{21B}}$ are as defined below:

Table 6 Cpd #	R ³	R_{21A}	R _{21B}	
601	<i>i</i> -Pr	Ph	7-OMe	
602	t-Bu	Ph	8-OMe, 7-OMe	
603	i-Pr	Ph	7-ethyl	
604	t-Bu		7-OMe	
605	t-Bu	Ph	7-O- <i>i</i> Pr	
606	t-Bu		7-Cl	
607	<i>i</i> Pr		7-Cl	
608	CH ₂ -iPr		7-Cl	
609	t-Bu	0 N		
610	<i>t</i> -Bu	Cl		
611	t-Bu	Ph	7- N(Me) ₂	
612	<i>t</i> -Bu	○ N		
613	<i>t</i> -Bu			
614	t-Bu	\bigcirc N \searrow		
615	t-Bu		7-	
616	<i>t</i> -Bu	H ₂ N N	N(Me) ₂	

Table 6 Cpd #	\mathbb{R}^3	R _{21A}	R _{21B}	
617	t-Bu	N-		;
618	t-Bu	Me Me—N	:	;
619	t-Bu	Ph Me—N		;
620	<i>t</i> -Bu	Me N	 	;
621	t-Bu	Me N		;
622	t-Bu	Me		;
623	t-Bu	MeO-		;
624	t-Bu	(Me) ₂ N-		;
625	<i>t</i> -Bu	Ph	7-S(Me)	;
626	t-Bu	Ph	7-Br	;
627	t-Bu	Ph	7-F	;
628	t-Bu	HN	7- N(Me) ₂	;
629	t-Bu	, p	7- N(Me) ₂	;
and 630	t-Bu	S N N N N N N N N N N N N N N N N N N N	7-N(Et) ₂	•

- 59. A compound according to claim 58, selected from the group consisting of compound #: 601, 602, 603, 604, 605, 606, 607, 610, 611, 612, 615, 616, 617, 620, 621, 622, 625, 626, 627, 628, 629, and 630.
- **60.** A compound according to claim 46 represented by the formula:

T, ISSO

wherein ${\bf R}^3$ and ${\bf R}_{21A}$ are as defined below:

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Table 7 Cpd #	\mathbb{R}^3	$\mathbf{R_{21A}}$
701	t-Bu	Me_N
702	<i>t-</i> Bu	Ph ;
703	t-Bu	Me o ;
704	t-Bu	;
705	t-Bu	,
706	t-Bu	sy ;
707	t-Bu	;
708	t-Bu	Ph-N(Me)-;
709	t-Bu	H ₂ N ,
710	t-Bu	ноос- ;
711	t-Bu	Me ,
712	t-Bu	(Me) ₂ N- ;
713	t-Bu	;
714	<i>t</i> -Bu	Et ,
715	t-Bu	;

Table 7 Cpd #	\mathbb{R}^3	R _{21A}	
716	t-Bu	N	;
717	t-Bu	Me HN N	;
718	t-Bu	NH ₂	;
719	t-Bu	HNNN	;
720	t-Bu	N N	;
721	t-Bu	0	;
722	t-Bu	HN	;
723	t-Bu	HN	;
724	<i>t</i> -Bu	s —	;
725	t-Bu		;
726	t-Bu	<i>i</i> -Pr	;
727	t-Bu		;
728	t-Bu	0 5 0 N	;
729	t-Bu		;

Table 7 Cpd #	\mathbb{R}^3	R _{21A}	
730	t-Bu	1	;
731	t-Bu	~ a	;
732	t-Bu	S N S	;
733	t-Bu	N N N	;
734	t-Bu	S	;
735	t-Bu	Ta	;
736	t-Bu	t-Bu	;
and 737	t-Bu	СНех	•

- 61. A compound according to claim 60, selected from the group consisting of compound #: 701, 702, 703, 704, 705, 706, 707, 708, 709, and 711 to 737.
- 62. A compound according to claim 45 represented by the formula:

wherein B, R^3 , and R_{22} are as defined below:

Table 8	В	\mathbb{R}^3	' R ₂₂	
Cpd #			1	
801	l P	t-Bu		;

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Table 8 Cpd #	В	\mathbb{R}^3	R ₂₂	
802	но	<i>t</i> -Bu		;
İ		!		1
803		t-Bu	 !	; ; ;
804		t-Bu	i	· •
		! ! !		
805	Ac	t-Bu		;
806	···.	<i>t</i> -Bu		;
				; ! !
807		t-Bu		;
808		t-Bu	 	;
809		<i>i</i> -Pr		;
810		t-Bu		;
811	Boc	<i>t</i> -Bu	4-Cl	;
812	○ N I	<i>t</i> -Bu	· · · · · · · · · · · · · · · · · ·	;
813	S S	t-Bu	- <u>1</u>	;
814	Boc	t-Bu	2-C1	;
815	Boc	<i>t</i> -Bu	3-Cl	;
816		<i>t</i> -Bu		;
817	N N	t-Bu		;
l	· · · · · · · · · · · · · · · · · · ·			

Table 8 Cpd #	В	R ³	R ₂₂	
818	s .	t-Bu		;
819	02N	<i>i</i> -Pr		; ;
820	CF ₃	i-Pr		; ;
821	OMe	<i>i</i> -Pr	: ! 	; • • • • • • • • • • • • • • • • • • •
822	Me Me	<i>i</i> -Pr		;
823	Boc	t-Bu	2-OMe	;
824	Boc	t-Bu	3-OMe	;
825	Boc	<i>t</i> -Bu	4-OMe	;
826		<i>i</i> -Pr	 	;
827	Me O	t-Bu		;
828	Me	i-Pr	 	;
829	Me o	t-Bu	 !	;
830	Me O	<i>t</i> -Bu		;
831	H ₂ N N	t-Bu		;
832	Me O	<i>t</i> -Bu		;
833	H ₂ N Me N	<i>t</i> -Bu		;

ļ	Table 8	В	\mathbb{R}^3	R ₂₂	!
	Cpd # 834		i-Pr		; ;
	835	Me Me O	t-Bu	: : : :	. ;
; ; ;	836	O ₂ N	i-Pr	 	;
	837	CI	i-Pr	· i	;
1) :	838	но	i-Pr	!	;
;;;	839	NC	<i>i</i> -Pr		;
	840	F	i-Pr		;
i	841	Boc	t-Bu	2-Me	;
•	842	Boc	t-Bu	3-Me	;
	843	Boc	t-Bu	4-Me	;
	844	N N N N N N N N N N N N N N N N N N N	t-Bu	4-OMe	;
	845	, N	i-Pr		;
}	846		<i>i</i> -Pr		;
;	847	Boc	cHex		;
1	848	Вос			;
1	849	Boc			;
:			•		

Table 8 Cpd #	В	\mathbb{R}^3	R ₂₂	! :
850	Вос	\		• •
851	Boc	0,,,,,	· · ·	· . ;
852	Вос			· • • • • • • • • • • • • • • • • • • •
853	Вос		!	: ;
854		<i>i</i> -Pr		;
855	но	<i>i</i> -Pr		; ;
856	NC NC	i-Pr	<u></u>	;
857	MeO	t-Bu		;
858	1 XN	t-Bu		;
859	Me	i-Pr		;
860		<i>i-</i> Pr	- -	;
861	NC NC	i-Pr		;
862		<i>i-</i> Pr		;
863		<i>i-</i> Pr	 	;

Table 8 Cpd #	В	\mathbb{R}^3	R ₂₂	!
864	F	i-Pr		;
865	O.L	t-Bu		;
866	H ₂ N	<i>t</i> -Bu		;
867		<i>t-</i> Bu		;
868	, i	<i>t-</i> Bu		;
869	Q.i.	t-Bu		;
870	✓× _N ↓	<i>t</i> -Bu	·	;
871	N S	t-Bu		;
872	× N N N N N N N N N N N N N N N N N N N	<i>t-</i> Bu		;
and 873	N N	t-Bu		•

- 63. A compound according to claim 62, selected from the group consisting of compound #: 801 to 825, 827 to 858, and 860 to 873.
- 64. A compound according to claim 45 represented by the formula:

wherein **B** is as defined below:

Table 9	В	; !
Cpd # 901	Boc	; ;
902		;
903		;
904		· ·
	но	,
905		;
906	N N	;
907	S O	;
908		;
909		;
910		;
911	но	;
912	S	;

Table 9 Cpd #	В	
913	S O	;
914		;
915	S	;
and 916	A A	•

65. A compound according to claim 45 represented by the formula:

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wherein B, X, R^3 , z and R_{21B} are as defined below:

Table 10 Cpd #	B-X-	\mathbb{R}^3	Z	R _{21B}	
1001	Ph-N(Me)-	<i>i-</i> Pr	Ο	Н;	1
1002	Boc-NH-	t-Bu	S	OMe;	;
and 1003	(N)	<i>i</i> -Pr	O		

66. A pharmaceutical composition comprising an anti-hepatitis C virally effective amount of a compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, in admixture with a pharmaceutically acceptable

carrier medium or auxiliary agent.

- 67. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.
- 68. A method of treating a hepatitis C viral infection in a mammal comprising administering to the mammal an anti-hepatitis C virally effective amount of the composition according to claim 66.
- 69. A method of inhibiting the replication of hepatitis C virus comprising exposing the virus to a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof.
- 70. A method of treating a hepatitis C viral infection in a mammal comprising administering thereto an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof with another anti-HCV agent.
- 71. A method according to claim 70, wherein said other anti-HCV agent is selected from the group consisting of: α or β -interferon, ribavirin and amantadine.
- 72. A method according to claim 70, wherein said other anti-HCV agent comprises an inhibitor of other targets in the HCV life cycle, selected from: helicase, polymerase, metalloprotease or IRES.
- 73. A process for the preparation of a peptide analog of formula (I) according to claim 1 wherein P1 is a substituted aminocyclopropyl carboxylic acid residue, comprising the step of:

coupling a peptide selected from the group consisting of: APG-P3-P2; or APG-P2; with a P1 intermediate of formula:

wherein R^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, CPG is a carboxyl protecting group and APG is an amino protecting group and P3 and P2 are as defined above.

74. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:

wherein \mathbf{R}^1 is C_{1-6} alkyl, cycloalkyl or C_{2-6} alkenyl, all optionally substituted with halogen, and CPG is a carboxyl protecting group.

75. A process for the preparation of: a peptide analog of formula (I) according to claim 1, this process comprising the step of:

coupling a suitably protected amino acid, peptide or peptide fragment with a P1 intermediate of formula:

wherein CPG is a carboxyl protecting group.

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- 76. A process according to claim 73, 74 or 75 wherein said carboxyl protecting group (CPG) is selected from the group consisting of: alkyl esters, analyl esters, and esters being cleavable by mild base treatment or mild reductive means.
- 77. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.
- 78. Method of preparing a composition for inhibiting the replication of hepatitis C virus comprising combining a hepatitis C viral NS3 protease inhibiting amount of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, with a pharmaceutically acceptable carrier medium or auxiliary agent.
- 79. Method of preparing a composition for treating a hepatitis C viral infection in a mammal comprising combining an anti-hepatitis C virally effective amount of a combination of the compound of formula I according to claim 1, or a therapeutically acceptable salt or ester thereof, and an interferon with a pharmaceutically acceptable carrier medium or auxiliary agent.

